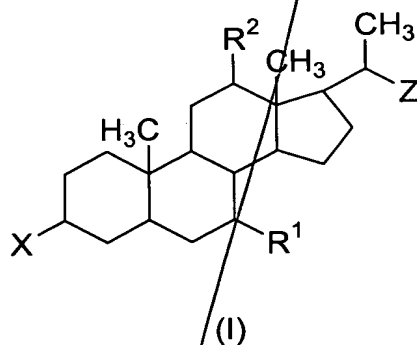


WHAT IS CLAIMED IS:

1. A method for achieving sustained therapeutic or prophylactic blood concentrations of a GABA analog or an active metabolite thereof in the systemic circulation of an animal which method comprises orally administering to said animal a compound of formula (I):



wherein:

$R^1$  and  $R^2$  are independently hydrogen or hydroxy;

X is selected from the group consisting of hydroxy and D-Q<sup>a</sup>-(T)-

wherein:

T is -O- or -NH-;

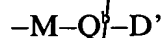
Q<sup>a</sup> is a covalent bond or a linking group that may cleave under physiological conditions to release a GABA analog or active metabolite thereof into the systemic blood circulation of said animal, wherein said linking group is not a linear oligopeptide comprising 1, 2 or 3  $\alpha$ -amino acids and/or  $\beta$ -amino acids; and

D is a GABA analog moiety

Z is selected from the group consisting of (a) a substituted alkyl group containing a moiety which is negatively charged at physiological pH which moiety is selected from the group consisting of -COOH, -SO<sub>3</sub>H,

-SO<sub>2</sub>H, -P(O)(OR<sup>19</sup>)(OH), -OP(O)(OR<sup>19</sup>)(OH), -OSO<sub>3</sub>H, wherein R<sup>19</sup> is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl; and (b) a group of the formula:

5



wherein:

M is selected from the group consisting of -CH<sub>2</sub>OC(O)- and -CH<sub>2</sub>CH<sub>2</sub>C(O)-;

10

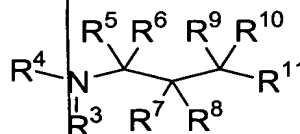
Q<sup>b</sup> is a covalent bond or a linking group which may cleave under physiological conditions to release a GABA analog or active metabolite thereof into the systemic blood circulation of said animal, wherein said linking group is not a linear oligopeptide consisting of 1, 2 or 3 α-amino acids and/or β-amino acids; and

15

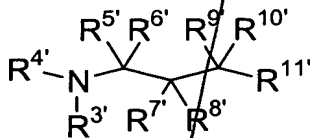
D' is a GABA analog moiety provided that when X is hydroxy, then Z is a group of the formula -M-Q<sup>b</sup>-D'.

20

2. The method of claim 1 wherein D is a GABA analog moiety preferably of the formula:



And D' is a GABA analog moiety preferably of the formula:



5 wherein:

$R^3$  is selected from the group consisting of hydrogen, an amino-protecting group, or a covalent bond linking the GABA analog moiety to  $Q^a$ ;

$R^4$  is hydrogen, or  $R^4$  and  $R^9$  together with the atoms to which they are attached form a heterocyclic ring;

10  $R^5$  and  $R^6$  are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

$R^7$  and  $R^8$  are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, 15 heteroaryl and substituted heteroaryl, or  $R^7$  and  $R^8$  together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclic or substituted heterocyclic ring;

$R^9$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and 20 substituted heteroaryl;

$R^{10}$  is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

$R^{11}$  is selected from the group consisting of carboxylic acid, 25 carboxylic amide, carboxylic ester, sulfonamide, phosphonic acid, acidic heterocycle, sulfonic acid, hydroxamic acid and  $C(O)R^{12}$ ;

$R^{12}$  is a covalent bond linking the GABA analog moiety to  $Q^a$ ,

provided only one of R<sup>3</sup> and R<sup>12</sup> links D to Q<sup>a</sup>;

R<sup>3'</sup> is selected from the group consisting of hydrogen, an amino-protecting group, or a covalent bond linking the moiety to Q<sup>b</sup>;

5 R<sup>4'</sup> is hydrogen, or R<sup>4'</sup> and R<sup>9'</sup> together with the atoms to which they are attached form a heterocyclic ring;

R<sup>5'</sup> and R<sup>6'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

10 R<sup>7'</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl, or R<sup>7'</sup> and R<sup>8'</sup> together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclic or substituted heterocyclic ring;

15 R<sup>9'</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R<sup>10'</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

20 R<sup>11'</sup> is selected from the group consisting of carboxylic acid, carboxylic amide, carboxylic ester, sulfonamide, phosphonic acid, acidic heterocycle, sulfonic acid, hydroxamic acid and C(O)R<sup>12'</sup>;

R<sup>12'</sup> is a covalent bond linking the GABA analog moiety to Q<sup>b</sup>, provided only one of R<sup>3'</sup> and R<sup>12'</sup> links D' to Q<sup>b</sup>; or

25 a pharmaceutically acceptable salt thereof.

3. The method according to Claim 1 wherein

R<sup>1</sup> and R<sup>2</sup> are both  $\alpha$ -OH; or

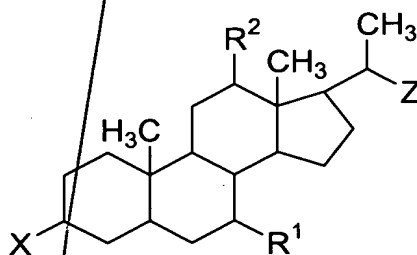
R<sup>1</sup> is  $\beta$ -OH and R<sup>2</sup> is hydrogen; or

$R^1$  is  $\alpha$ -OH and  $R^2$  is hydrogen; or  
 $R^1$  is hydrogen and  $R^2$  is  $\alpha$ -OH; or  
 $R^1$  is  $\beta$ -OH and  $R^2$  is  $\alpha$ -OH; or  
 $R^1$  and  $R^2$  are both hydrogen.

5

4. The method according to Claim 2 wherein D- $Q^a$ -(T)- and/or -  
M- $Q^b$ -D' are selected to cleave under physiological conditions at a rate to  
provide a therapeutic and/or prophylactic blood concentration of the GABA  
analog or active metabolite thereof in the animal for a period of at least  
10 about 10% longer than when the GABA analog is orally delivered by itself  
at an equivalent dose.

5. A compound of formula (I):



15

wherein:

$R^1$  and  $R^2$  are independently hydrogen or hydroxy;

X is selected from the group consisting of hydroxy and D- $Q^a$ -(T)-

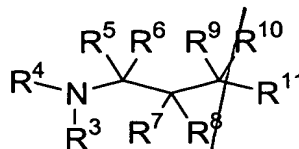
wherein:

20

T is -O or -NH-;

$Q^a$  is a covalent bond or a linking group; and

D is a GABA analog moiety preferably of the formula:



where:

R<sup>3</sup> is selected from the group consisting of hydrogen, an amino-  
5 protecting group, or a covalent bond linking the GABA analog moiety to Q<sup>a</sup>;

R<sup>4</sup> is hydrogen, or R<sup>4</sup> and R<sup>9</sup> together with the atoms to which they  
are attached form a heterocyclic ring;

R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of  
hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl,  
10 aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R<sup>7</sup> and R<sup>8</sup> are independently selected from the group consisting of  
hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl,  
heteroaryl and substituted heteroaryl, or R<sup>7</sup> and R<sup>8</sup> together with the atoms  
to which they are attached form a cycloalkyl, substituted cycloalkyl,  
15 heterocyclic or substituted heterocyclic ring;

R<sup>9</sup> is selected from the group consisting of hydrogen, alkyl,  
substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and  
substituted heteroaryl;

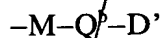
R<sup>10</sup> is selected from the group consisting of hydrogen, alkyl,  
20 substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and  
substituted heteroaryl;

R<sup>11</sup> is selected from the group consisting of carboxylic acid,  
carboxylic amide, carboxylic ester, sulfonamide, phosphonic acid, acidic  
heterocycle, sulfonic acid, hydroxamic acid and C(O)R<sup>12</sup>;

25 R<sup>12</sup> is a covalent bond linking the GABA analog moiety to Q<sup>a</sup>,  
provided only one of R<sup>3</sup> and R<sup>12</sup> links D to Q<sup>a</sup>;

Z is selected from the group consisting of (a) a substituted alkyl group containing a moiety which is negatively charged at physiological pH which moiety is selected from the group consisting of  $-\text{COOH}$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{SO}_2\text{H}$ ,  $-\text{P}(\text{O})(\text{OR}^{19})(\text{OH})$ ,  $-\text{OP}(\text{O})(\text{OR}^{19})(\text{OH})$ ,  $-\text{OSO}_3\text{H}$ , wherein  $\text{R}^{19}$  is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl; and

(b) a group of the formula:

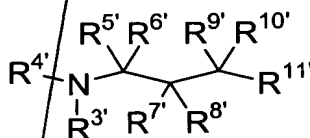


wherein:

M is selected from the group consisting of  $-\text{CH}_2\text{OC}(\text{O})-$  and  $-\text{CH}_2\text{CH}_2\text{C}(\text{O})-$ ;

$\text{Q}^b$  is a covalent bond or a linking group which may cleave under physiological conditions to release a GABA analog or active metabolite thereof into the systemic blood circulation of said animal; and

$\text{D}'$  is a GABA analog moiety preferably of the formula:



wherein:

$\text{R}^{3'}$  is selected from the group consisting of hydrogen, an amino-protecting group, or a covalent bond linking the GABA analog moiety to  $\text{Q}^b$ ;

$\text{R}^{4'}$  is hydrogen or  $\text{R}^{4'}$  and  $\text{R}^{9'}$  together with the atoms to which they are attached form a heterocyclic ring;

R<sup>5'</sup> and R<sup>6'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

5 R<sup>7'</sup> and R<sup>8'</sup> are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl, or R<sup>7'</sup> and R<sup>8'</sup> together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclic or substituted heterocyclic ring;

10 R<sup>9'</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

R<sup>10'</sup> is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, alkynyl, aryl, substituted aryl, heteroaryl and substituted heteroaryl;

15 R<sup>11'</sup> is selected from the group consisting of carboxylic acid, carboxylic amide, carboxylic ester, sulfonamide, phosphonic acid, acidic heterocycle, sulfonic acid, hydroxamic acid and C(O)R<sup>12'</sup>;

R<sup>12'</sup> is a covalent bond linking the GABA analog moiety to Q<sup>b</sup>, provided only one of R<sup>3'</sup> and R<sup>12'</sup> links D to Q<sup>b</sup>; or

20 a pharmaceutically acceptable salt thereof;

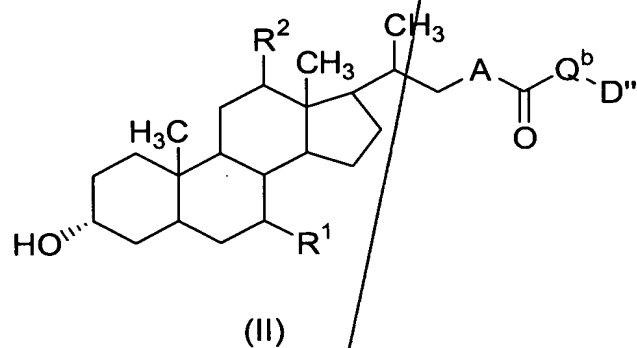
provided that when X is hydroxy, then Z is a group of the formula -M-Q<sup>b</sup>-D'; and

25 further provided that when X is hydroxy, M is -CH<sub>2</sub>CH<sub>2</sub>C(O)-, Q<sup>b</sup> is a covalent bond and R<sup>11'</sup> is carboxylic acid, then at least one of R<sup>5'</sup>, R<sup>6'</sup>, R<sup>7'</sup>, R<sup>8'</sup>, R<sup>9'</sup> and R<sup>10'</sup> is other than hydrogen; and

yet further provided that neither Q<sup>a</sup> nor Q<sup>b</sup> is a linear oligopeptide comprised exclusively of 1, 2 or 3 α-amino acids and/or β-amino acids.



6. A compound of formula (II):

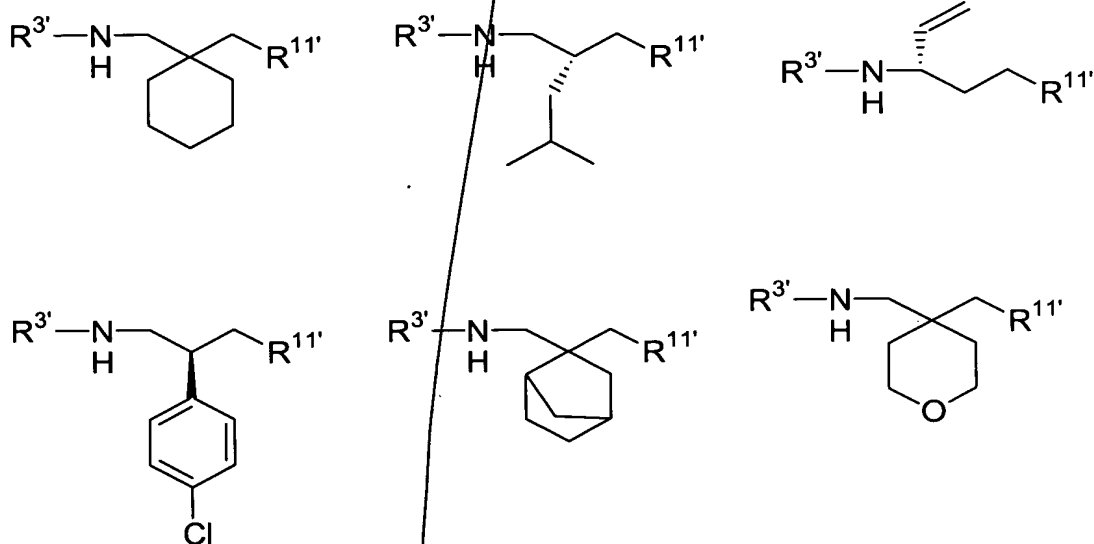


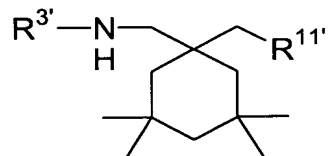
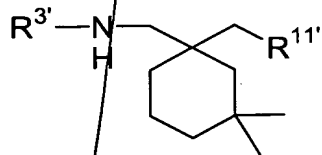
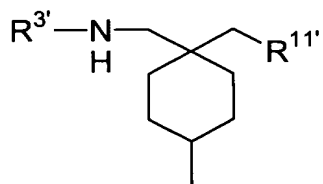
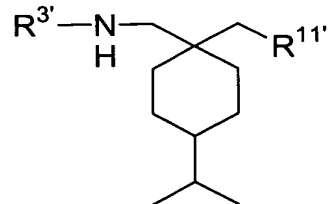
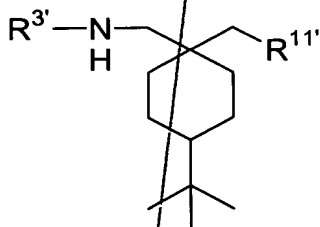
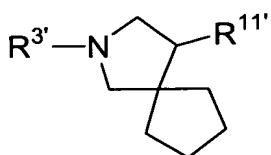
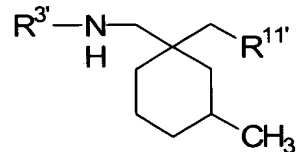
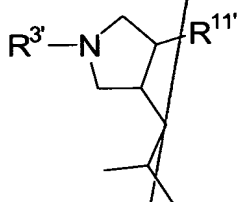
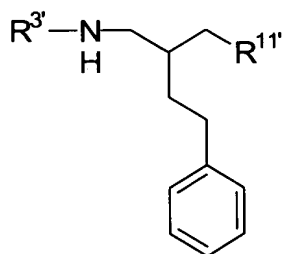
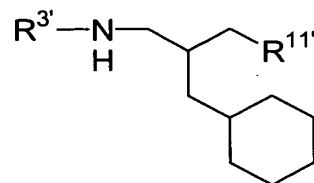
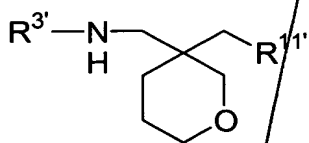
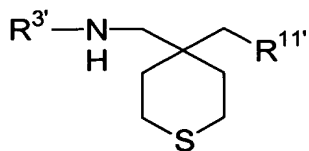
wherein:

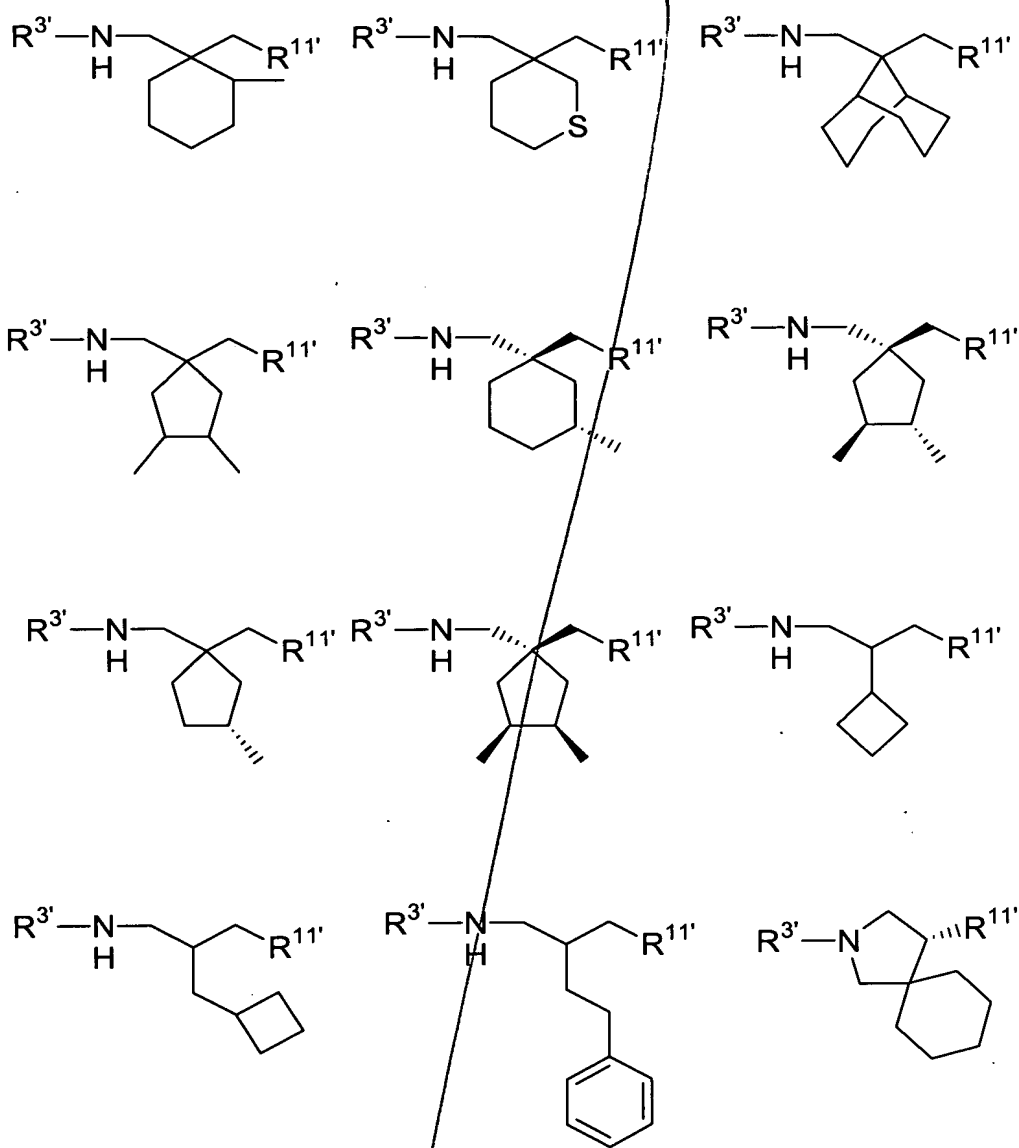
$R^1$  and  $R^2$  are both  $\alpha$ -OH;  $R^1$  is  $\beta$ -OH and  $R^2$  is hydrogen;  $R^1$  is  $\alpha$ -OH and  $R^2$  is hydrogen;  $R^1$  is hydrogen and  $R^2$  is  $\alpha$ -OH;  $R^1$  is  $\beta$ -OH and  $R^2$  is  $\alpha$ -OH; or  $R^1$  and  $R^2$  are both hydrogen;

A is  $-O-$  or  $-CH_2-$ ;

$D''$  is a GABA analog moiety selected from the group consisting of:







where

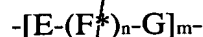
R<sup>3'</sup> is hydrogen or a covalent bond linking D<sup>''</sup> to Q<sup>b</sup>;

R<sup>11'</sup> is carboxyl acid or C(O)R<sup>12'</sup>, wherein R<sup>12'</sup> is a covalent bond linking D<sup>''</sup> to Q<sup>b</sup>; and

Q<sup>b</sup> is a covalent bond or a linker which may cleave under physiological conditions to release a GABA analog or an active metabolite thereof thereby providing a therapeutic or prophylactic systemic blood concentration of said GABA analog or an active metabolite thereof in said animal, wherein said linker is not a linear oligopeptide consisting of 1, 2 or 3 α-amino acids and/or β-amino acids; or a pharmaceutically acceptable salt thereof;

7. The compound according to Claim 6, wherein Q<sup>b</sup> is a linker.

8. The compound according to Claim 7, wherein Q<sup>b</sup> is a group of formula:



wherein:

m is an integer of from 1 to 4;

n is 0 or 1;

E is -NH- or -O-;

F\* is selected from a group consisting of alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, substituted cycloalkenylene, arylene, substituted arylene, heteroarylene, substituted heteroarylene, heterocyclene and substituted heterocyclene; and

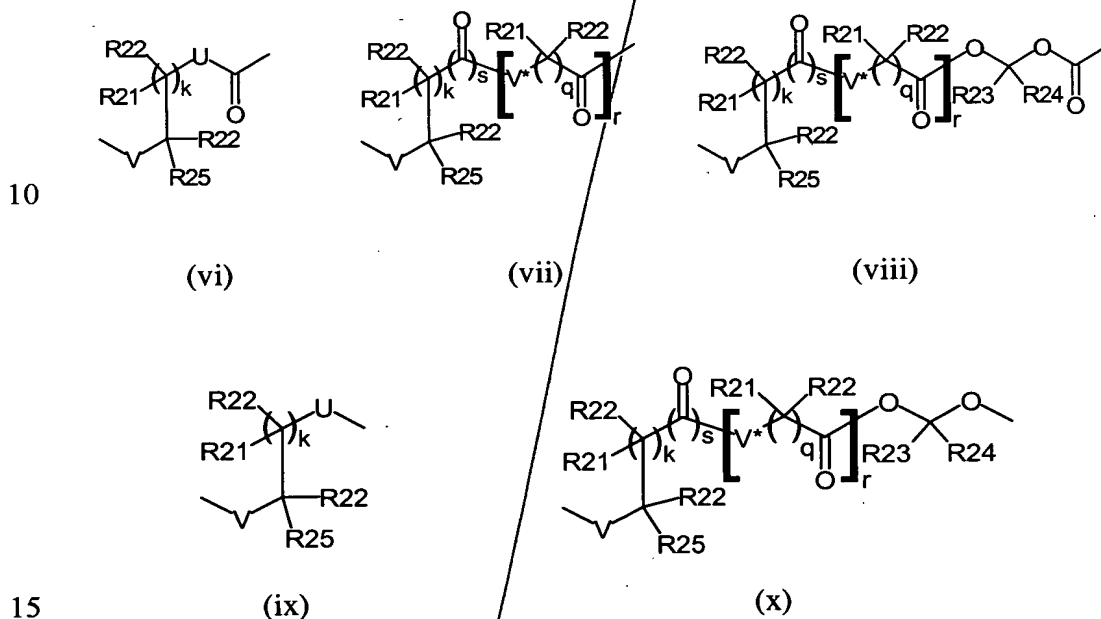
G is -OC(O)-, -C(O)- or -NH-.

9. The compound according to Claim 8, wherein F\* is selected from a group consisting of alkylene, alkynylene and alkylene substituted with a group selected from the group consisting of -COOH, -SO<sub>3</sub>H,

$-\text{SO}_2\text{H}$ ,  $-\text{P}(\text{O})(\text{OR}^{19})(\text{OH})$ ,  $-\text{OP}(\text{O})(\text{OR}^{19})(\text{OH})$ ,  $-\text{OSO}_3\text{H}$ , wherein  $\text{R}^{19}$  is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl; and where one, two or three methylene groups are optionally replaced by a carboxy ( $-\text{C}(\text{O})\text{O}-$ ) group.

5

10. The compound according to Claim 7 wherein  $\text{Q}^b$  is a cleavable linker selected from the group consisting of structures of formulae (vi) to (x):



wherein:

V and  $\text{V}^*$  are independently  $\text{NR}^{20}$ , O, S or  $\text{CR}^{21}\text{R}^{22}$ ;

U is  $\text{NR}^{20}$ , O, S;  $\text{R}^{25}$  is  $\text{R}^{21}$  or  $(\text{CR}^{21}\text{R}^{22})_i\text{Z}$ ;

20 Z is selected from the group consisting of  $-\text{CO}_2\text{H}$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{SO}_2\text{H}$ ,  $-\text{P}(\text{O})(\text{OR}^{19})(\text{OH})$ ,  $-\text{OP}(\text{O})(\text{OR}^{19})(\text{OH})$ ;

s is 0 or 1;

r is 0, 1 or 2;

k is 0, 1, 2, 3 or 4;

each q is 1, 2, 3 or 4;

l is 0 or 1;

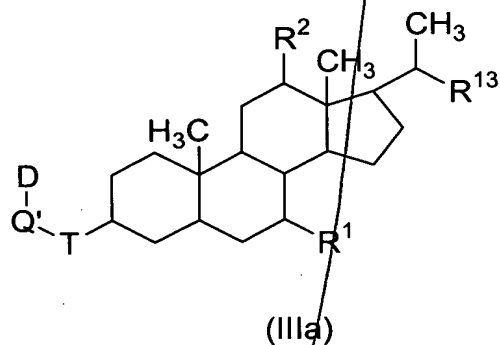
R<sup>19</sup> is selected from the group consisting of alkyl, substituted alkyl,  
5 substituted aryl and substituted aryl;

R<sup>20</sup>, R<sup>21</sup> and R<sup>22</sup> are independently hydrogen, alkyl, substituted alkyl,  
alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,  
substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl,  
substituted aryl, heteroaryl, substituted heteroaryl or R<sup>21</sup> and R<sup>22</sup> together  
10 with the atoms to which they are attached form a cycloalkyl, substituted  
cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or, when R<sup>20</sup> and  
R<sup>22</sup> are present and are on adjacent atoms, then together with the atoms to  
which they are attached form a heterocyclyl or substituted heterocyclyl ring;

R<sup>23</sup> and R<sup>24</sup> are independently hydrogen, alkyl, substituted alkyl,  
15 alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl,  
substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl,  
substituted aryl, heteroaryl, substituted heteroaryl or R<sup>23</sup> and R<sup>24</sup> together  
with the atoms to which they are attached form a cycloalkyl, substituted  
cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

20 provided that when Q<sup>b</sup> is of formula (vii), V and V\* are NR<sup>20</sup>, s is 1,  
k is 0 or 1, each q is either 1 or 2, and r is 0, 1 or 2 then R<sup>25</sup> is Z.

11. A compound of formula (IIIa):

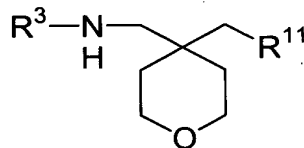
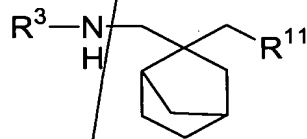
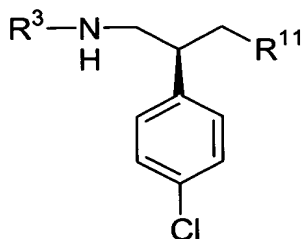
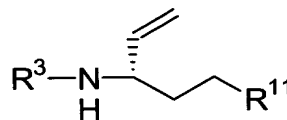
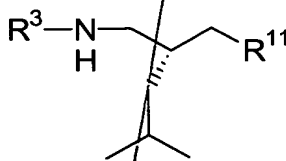
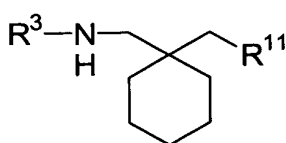


5 wherein:

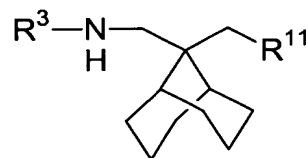
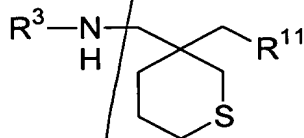
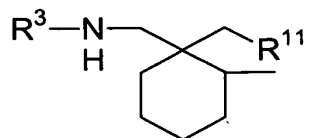
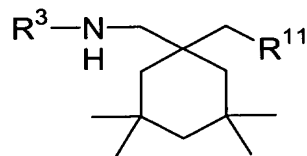
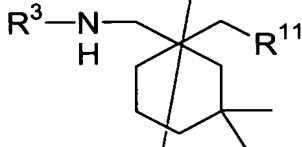
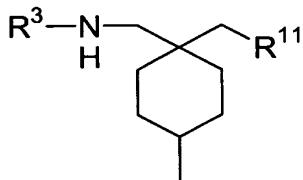
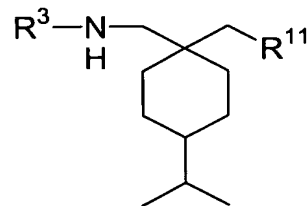
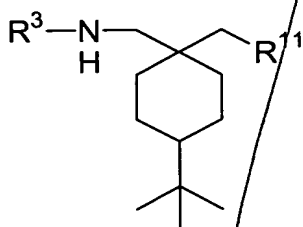
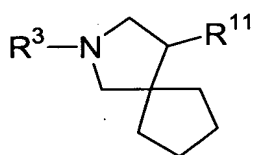
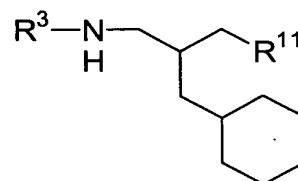
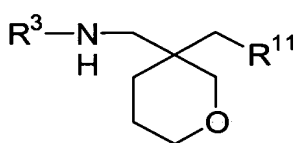
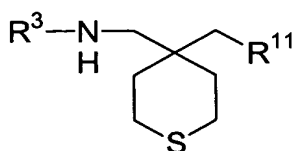
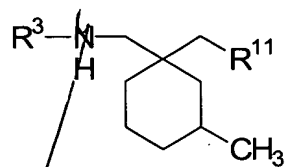
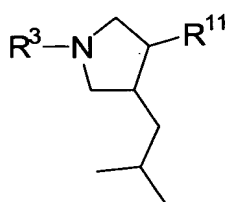
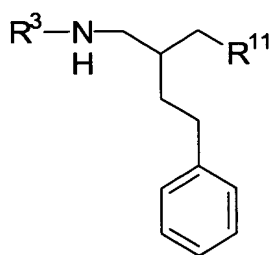
$R^1$  and  $R^2$  are both  $\alpha$ -OH;  $R^1$  is  $\beta$ -OH and  $R^2$  is hydrogen;  $R^1$  is  $\alpha$ -OH and  $R^2$  is hydrogen;  $R^1$  is hydrogen and  $R^2$  is  $\alpha$ -OH;  $R^1$  is  $\beta$ -OH and  $R^2$  is  $\alpha$ -OH; or  $R^1$  and  $R^2$  are both hydrogen;

T is  $-O-$  or  $-NH-$  and is either  $\alpha$ - or  $\beta$ -;

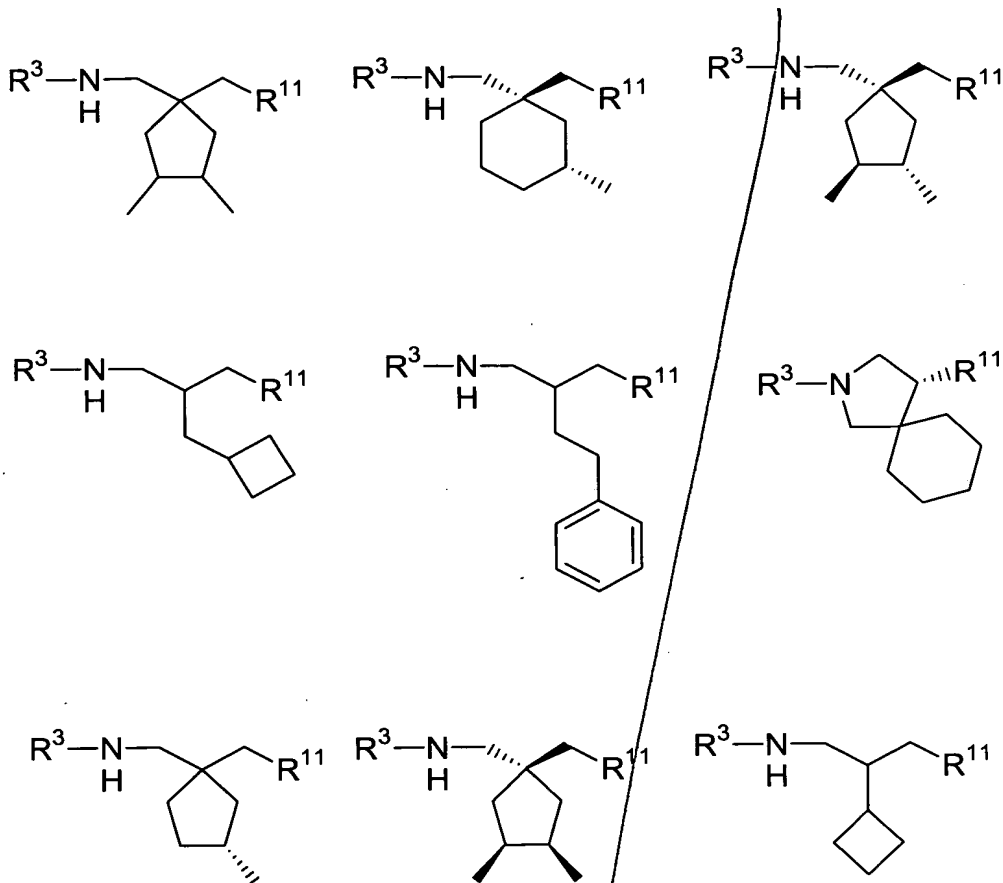
10 D is a GABA analog moiety selected from the group consisting of:



15







where

$R^3$  is hydrogen or a covalent bond linking D to Q';

$R^{11}$  is carboxyl or  $C(O)R^{12}$ , wherein  $R^{12}$  is a covalent bond linking D to Q', provided that only one of  $R^3$  and  $R^{12}$  is a covalent bond linking D to Q'; and

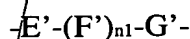
Q' is a covalent bond or a linker which may cleave under physiological conditions to release a GABA analog or an active metabolite thereof thereby providing a therapeutic or prophylactic systemic blood concentration of said GABA analog or an active metabolite thereof in said

animal, wherein said linking group is not a linear oligopeptide consisting of 1, 2 or 3  $\alpha$ -amino acids and/or  $\beta$ -amino acids;

$R^{13}$  is a substituted alkyl group containing a moiety which is negatively charged at physiological pH which moiety is selected from a group consisting of  $-\text{COOH}$ ,  $-\text{SO}_3\text{H}$ ,  $-\text{SO}_2\text{H}$ ,  $-\text{P}(\text{O})(\text{OR}^{19})(\text{OH})$ ,  $-\text{OP}(\text{O})(\text{OR}^{19})(\text{OH})$ ,  $-\text{OSO}_3\text{H}$ , wherein  $R^{19}$  is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl; or a pharmaceutically acceptable salt thereof.

12. The compound according to Claim 11, wherein  $R^{13}$  is  $-\text{CH}_2\text{CH}_2\text{CO}_2\text{H}$ ,  $-\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NHCH}_2\text{COOH}$ ,  $-\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}(\text{CH}_2)_2\text{SO}_3\text{H}$ ,  $-\text{CH}_2\text{CH}_2\text{CO}_2\text{Na}$ ,  $-\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NHCH}_2\text{COONa}$  or  $-\text{CH}_2\text{CH}_2\text{C}(\text{O})\text{NH}(\text{CH}_2)_2\text{SO}_3\text{Na}$ .

13. The compound according to Claim 11, wherein  $Q'$  is a group of formula:



where:

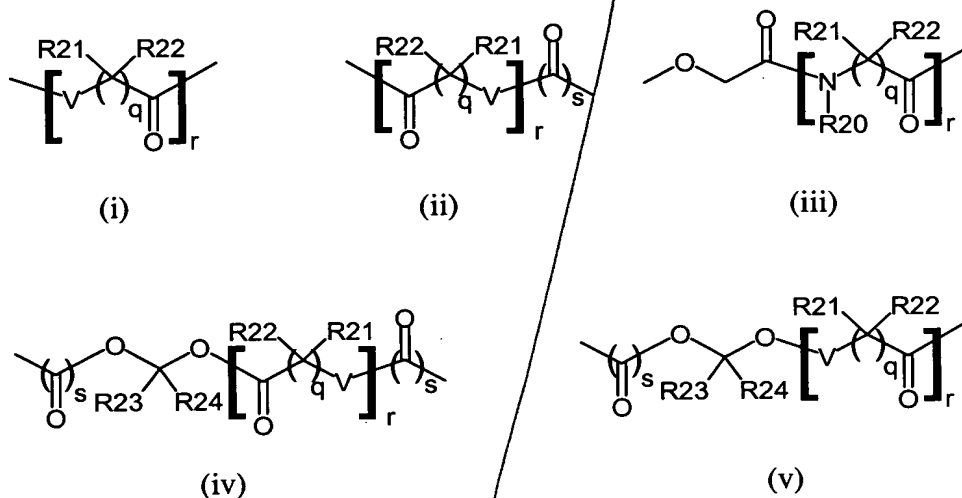
$n1$  is 0 or 1;

$G'$  is  $-\text{C}(\text{O})-$ , alkylene,  $-\text{O}-\text{C}(\text{O})-$ ,  $-\text{NRC}(\text{O})-$ , where  $R$  is hydrogen, alkyl or substituted alkyl;

$F'$  is selected from a group consisting of a covalent bond, alkylene, substituted alkylene, alkenylene, substituted alkenylene, alkynylene, substituted alkynylene, cycloalkylene, substituted cycloalkylene, cycloalkenylene, substituted cycloalkenylene, arylene, substituted arylene, heteroarylene, substituted heteroarylene, heterocyclene and substituted heterocyclene; and

E' is a covalent bond, -C(O)O- or -C(O)-.

14. The compound according to Claim 11, wherein Q' is a cleavable linker selected from the group consisting of -C(O)- and the structures of formulae (i) through (v) as shown below;



wherein:

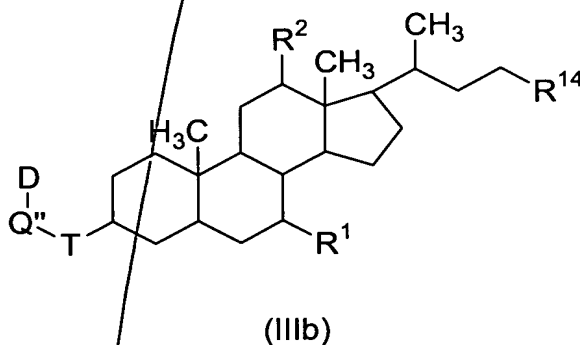
- V is selected from the group consisting of  $\text{NR}^{20}$ , O, S and  $\text{CR}^{21}\text{R}^{22}$ ;
- each s is independently 0 or 1;
- r is 0, 1, 2, 3 or 4;
- each q is 1, 2, 3, 4, 5 or 6;
- each  $\text{R}^{20}$  is independently hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl;
- each  $\text{R}^{21}$  and  $\text{R}^{22}$  is independently hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or  $\text{R}^{21}$  and  $\text{R}^{22}$  together

with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or, when  $R^{20}$  and  $R^{22}$  are present and are on adjacent atoms, then together with the atoms to which they are attached form a heterocyclyl or substituted heterocyclyl ring;

5 each  $R^{23}$  and  $R^{24}$  are independently hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or  $R^{23}$  and  $R^{24}$  together with the atoms to which they are attached form a cycloalkyl, substituted cycloalkyl, heterocyclyl or substituted heterocyclyl ring;

10 provided that when  $Q'$  is of formulae (i) or (ii), then when each  $V$  is  $NR^{20}$  and each  $q$  is 1 or 2 then  $r$  is not 1, 2 or 3.

15 15. A compound of formula (IIIb):

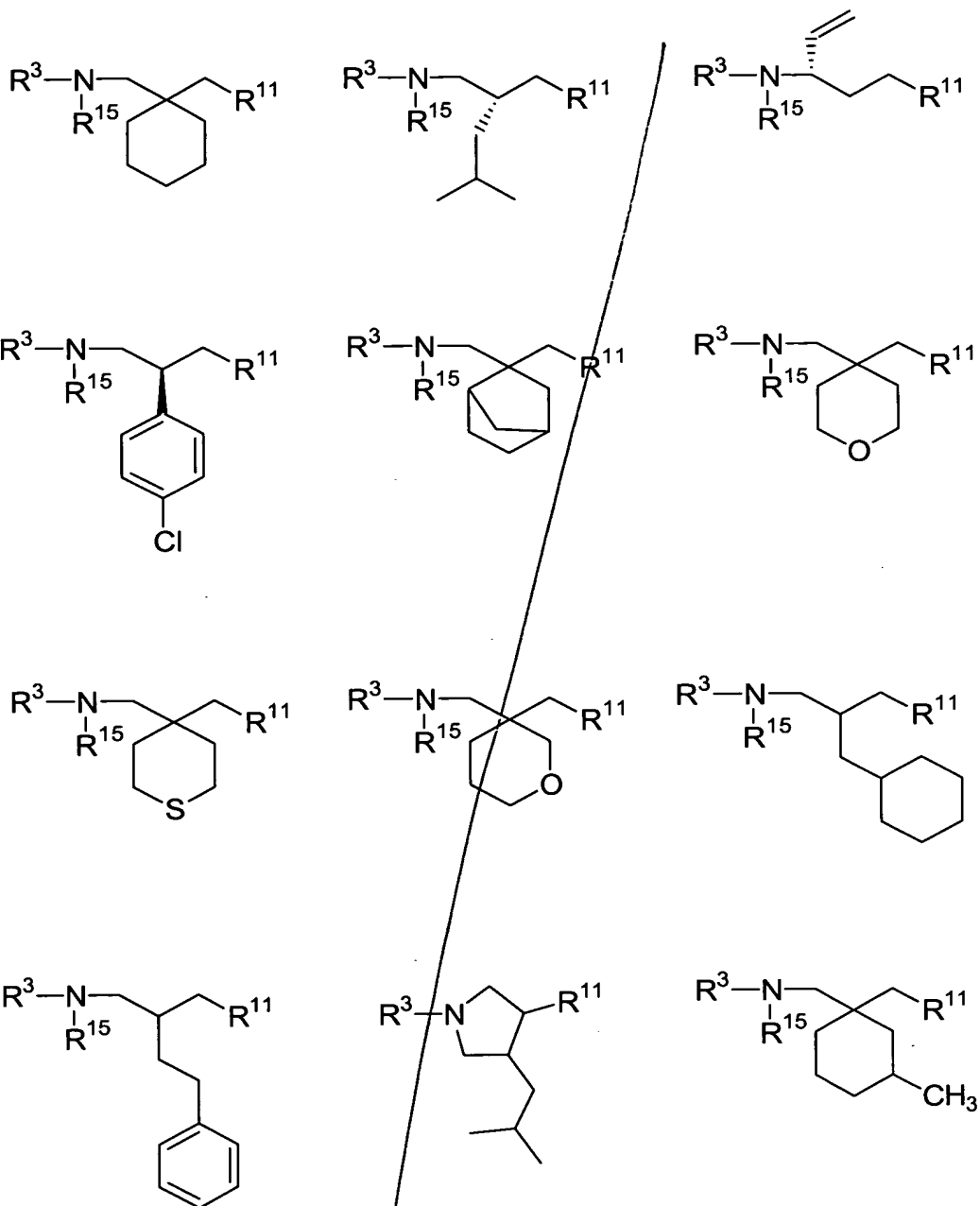


wherein:

$R^1$  and  $R^2$  are both  $\alpha$ -OH;  $R^1$  is  $\beta$ -OH and  $R^2$  is hydrogen;  $R^1$  is  $\alpha$ -OH and  $R^2$  is hydrogen;  $R^1$  is hydrogen and  $R^2$  is  $\alpha$ -OH;  $R^1$  is  $\beta$ -OH and  $R^2$  is  $\alpha$ -OH; or  $R^1$  and  $R^2$  are both hydrogen;

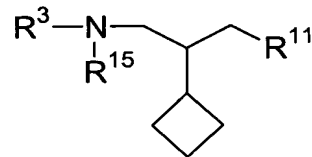
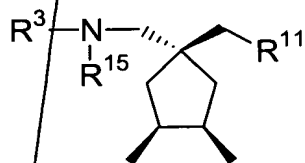
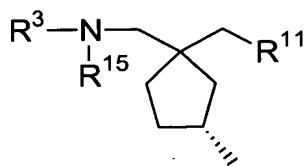
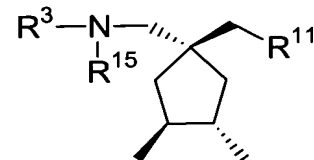
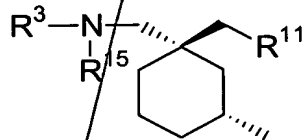
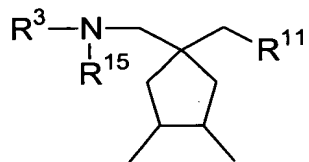
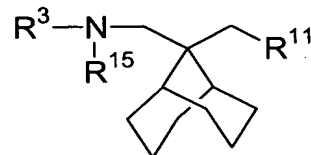
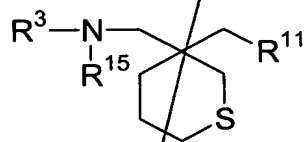
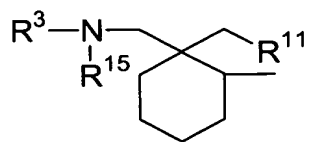
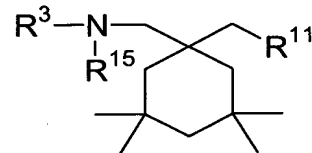
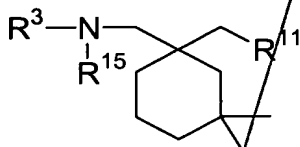
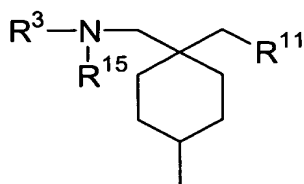
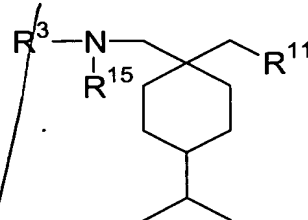
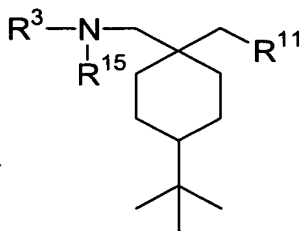
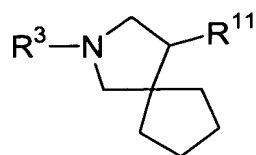
$T$  is  $-O-$  or  $-NH-$  and is either alpha or beta;

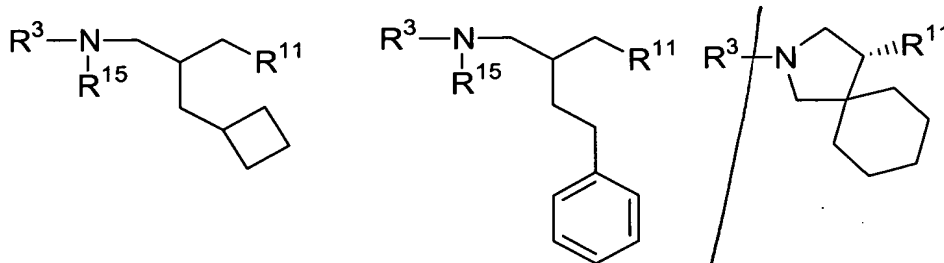
$D$  is a GABA analog moiety selected from the group consisting of:



5

10





where

$R^3$  is hydrogen or a covalent bond linking D to  $Q''$ ;

$R^{11}$  is carboxyl or  $C(O)R^{12}$ , wherein  $R^{12}$  is a covalent bond linking D to  $Q''$ , provided that only one of  $R^3$  and  $R^{12}$  is a covalent bond linking D to  $Q''$ ;

$R^{15}$  is hydrogen or an amino protecting group which is hydrolysable in vivo; and

$Q''$  is a covalent bond or a linker which may cleave under physiological conditions to release a GABA analog or an active metabolite thereof thereby providing a therapeutic or prophylactic systemic blood concentration of said GABA analog or an active metabolite thereof in said animal, wherein said linker is not a linear oligopeptide consisting of 1, 2 or 3  $\alpha$ -amino acids and/or  $\beta$ -amino acids;

$R^{14}$  is carboxyl or alkylamido substituted with a substituent selected from the group consisting of  $-COOH$ ,  $-SO_3H$ ,  $-SO_2H$ ,  $-P(O)(OR^{19})(OH)$ ,  $-OP(O)(OR^{19})(OH)$ ,  $-OSO_3H$ , wherein  $R^{19}$  is selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl; or

a pharmaceutically acceptable salt thereof.

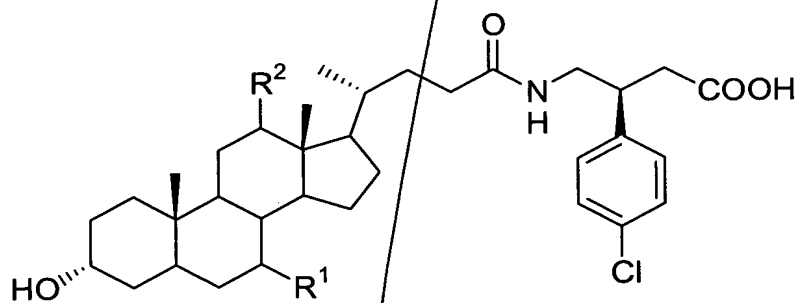
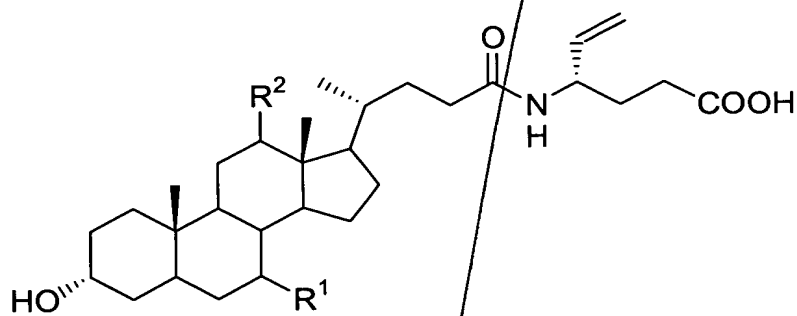
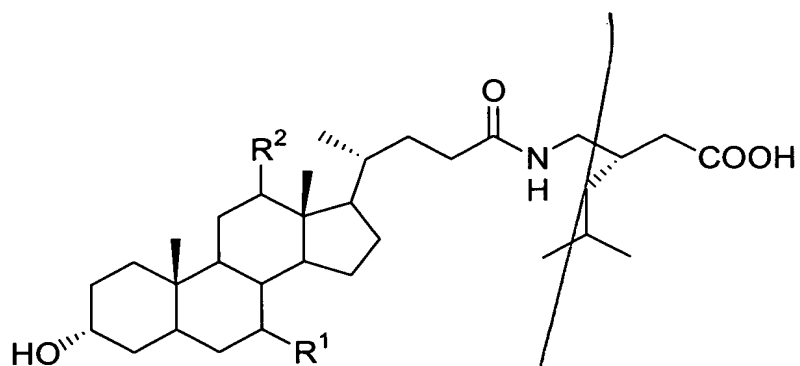
16. A compound according to Claim 15, wherein  $R^{14}$  is  $-CO_2H$ ,  $-C(O)NHCH_2CO_2H$ ,  $-C(O)NH(CH_2)_2SO_3H$ ,  $-C(O)ONa$ ,  $-C(O)NHCH_2CO_2Na$  or  $-C(O)NH(CH_2)_2SO_3Na$ .

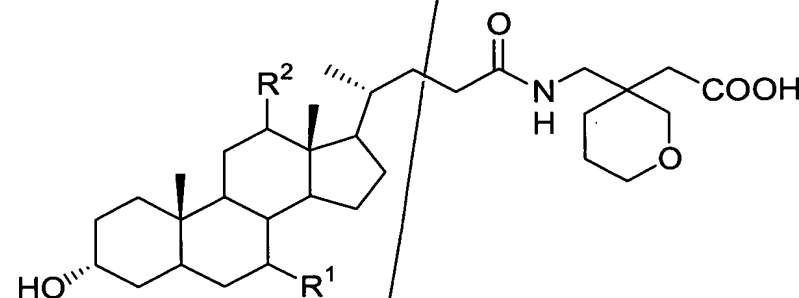
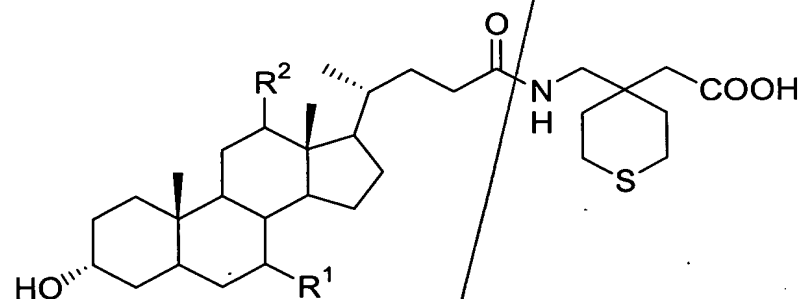
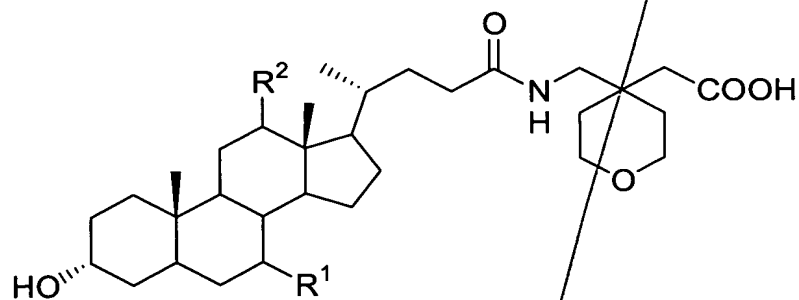
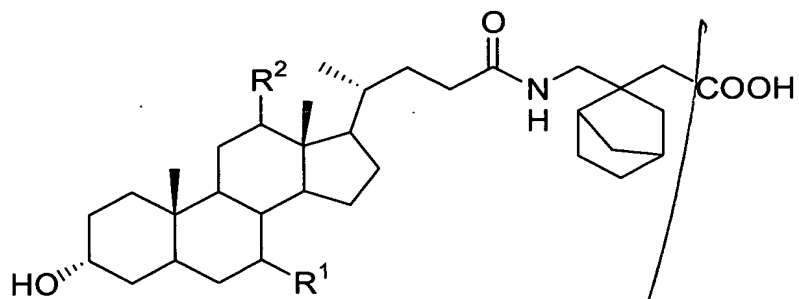
5 heterocyclic, substituted heterocyclic and  $-C(O)(CR^{21}R^{22})NHR^{20}$  where:

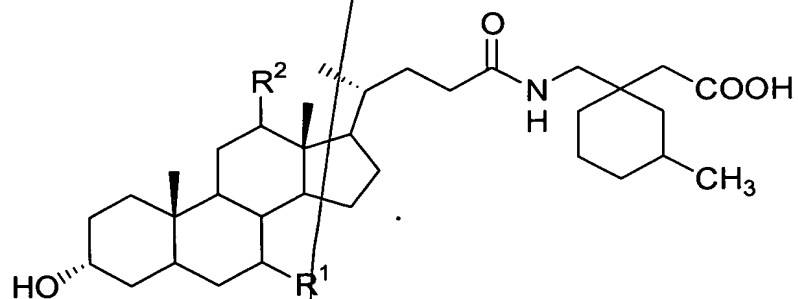
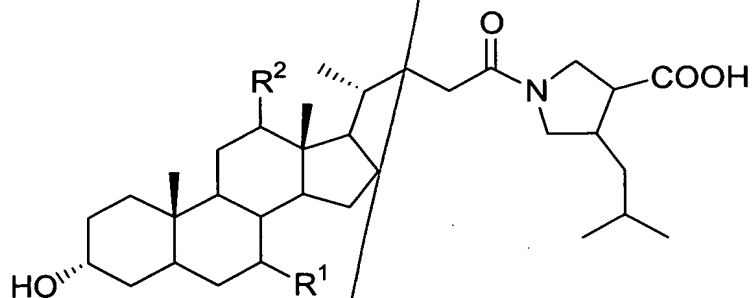
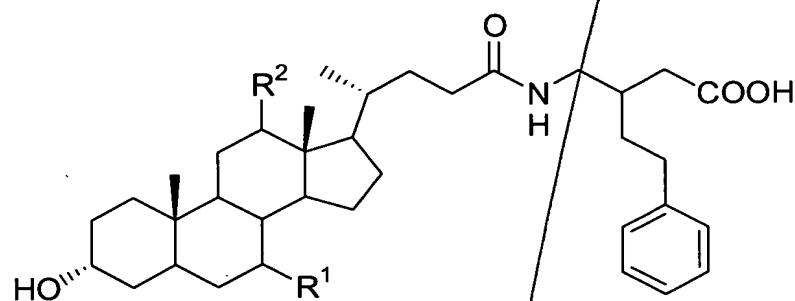
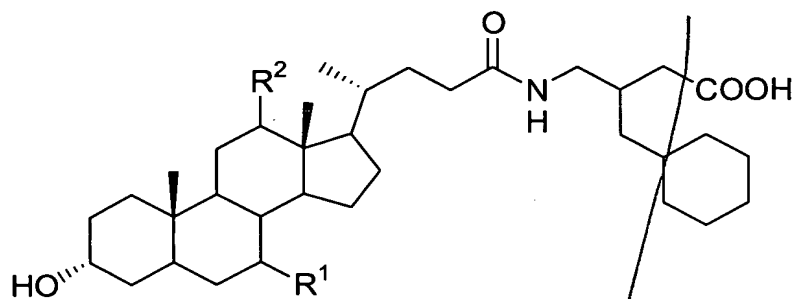
10  $R^{21}$  and  $R^{22}$  is independently hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, cycloalkyl, substituted cycloalkyl, heterocyclyl, substituted heterocyclyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl or  $R^{21}$  and  $R^{22}$  together with the atoms to which they are attached form a cycloalkyl, substituted  
15 cycloalkyl, heterocyclyl or substituted heterocyclyl ring, or, when  $R^{20}$  and  $R^{22}$  are present and are on adjacent atoms, then together with the atoms to which they are attached form a heterocyclyl or substituted heterocyclyl ring;

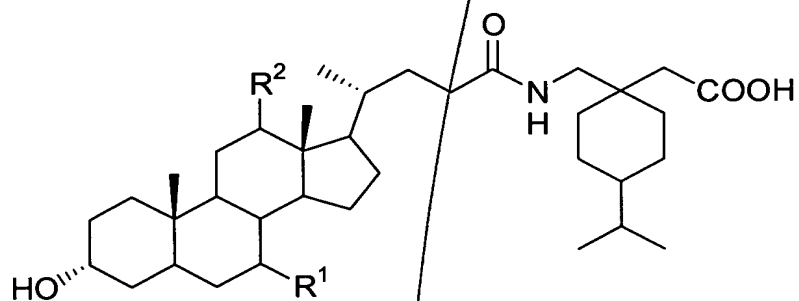
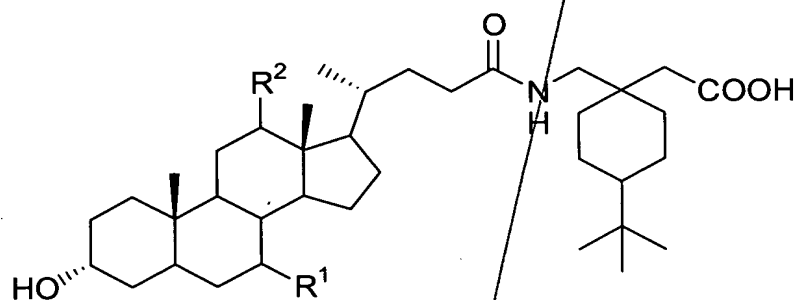
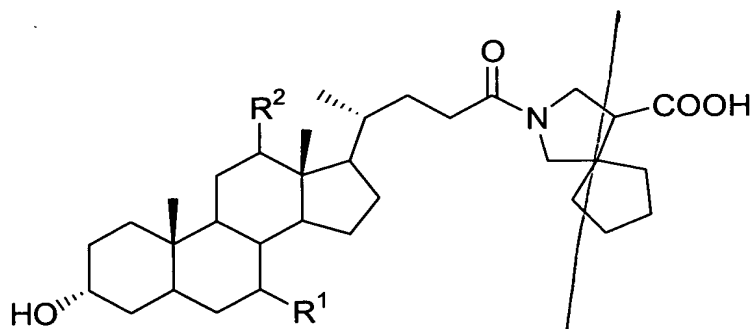
The diagram shows a steroid nucleus with a hydroxyl group (HO) at C3, a methyl group at C10, and substituents R<sup>1</sup> at C14 and R<sup>2</sup> at C13. A side chain is attached at C17, consisting of a propyl group linked to an amide group (NH), which is further linked to a cyclohexylmethyl group ending in a carboxylic acid group (COOH). A diagonal line is drawn through the steroid nucleus.

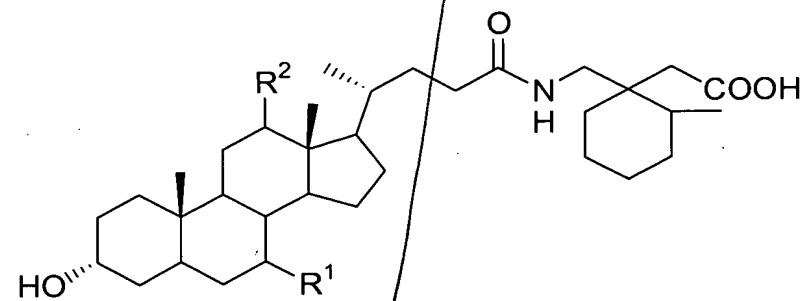
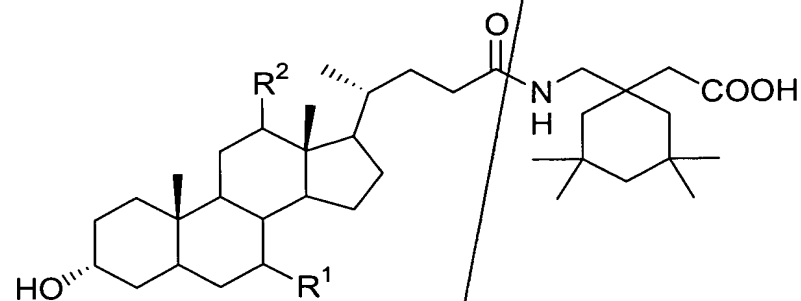
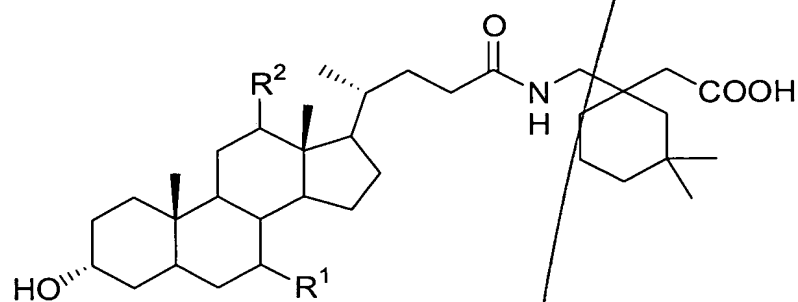
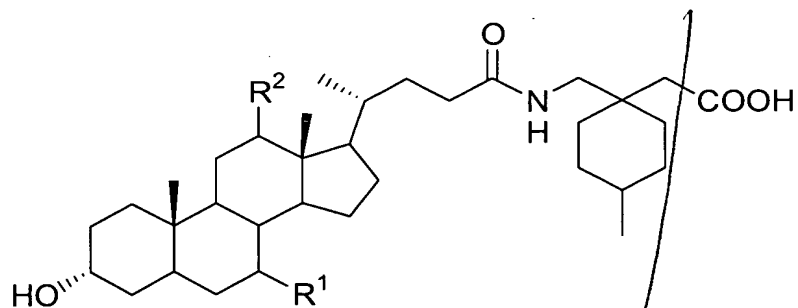


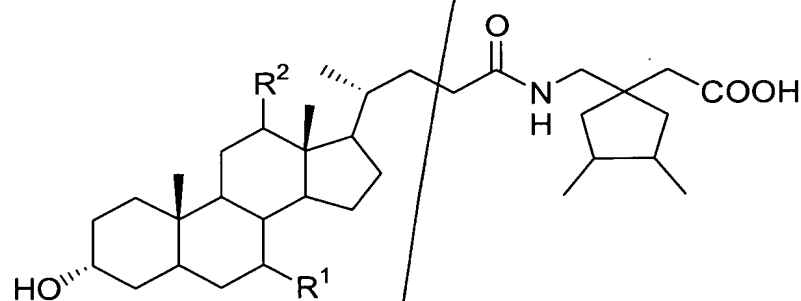


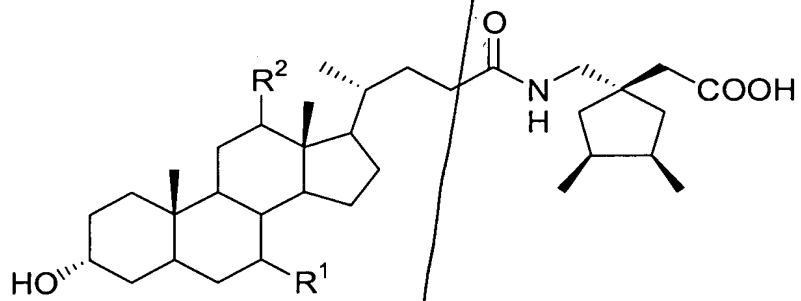
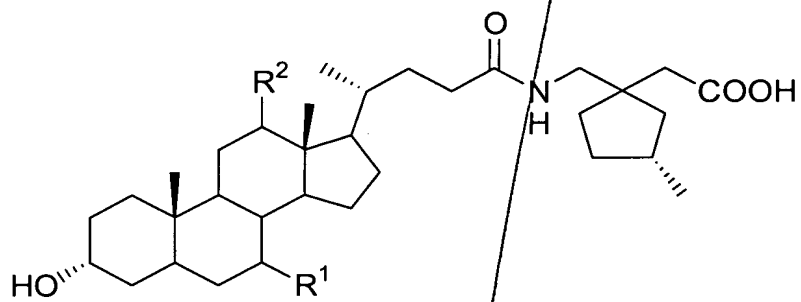
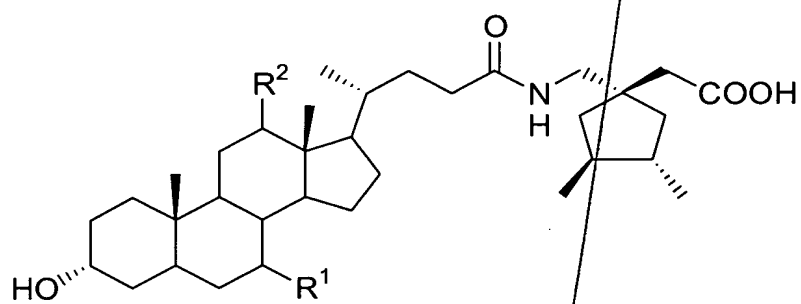
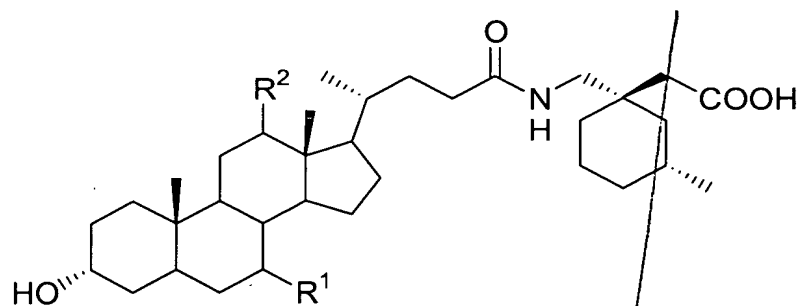


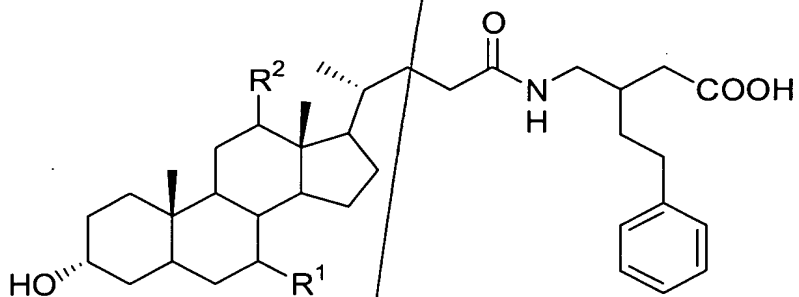
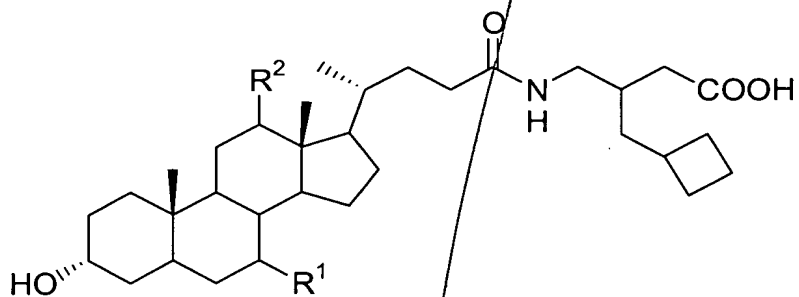
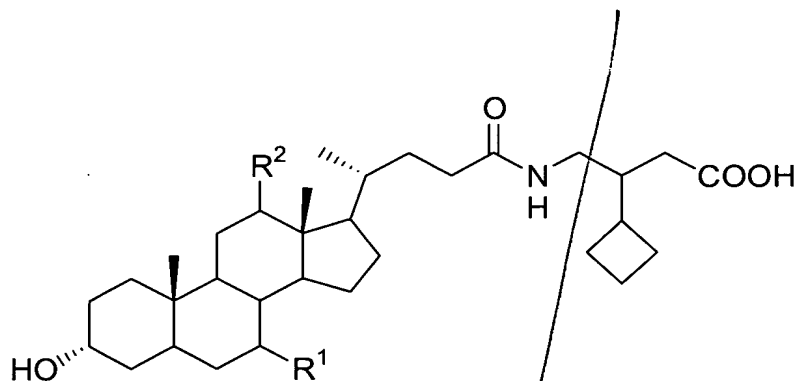




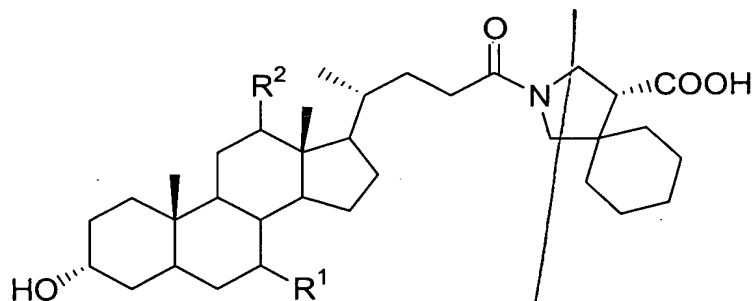












where R<sup>1</sup> and R<sup>2</sup> are independently hydrogen or hydroxy; or  
pharmaceutically acceptable salts thereof.

19. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a compound according to any of Claims 1, 5, 6, 11, 15, or 18.

20. A method for treating a disease condition in a mammal, wherein said disease condition is selected from epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, neuropathic pain, neuropathological disorders, gastrointestinal damage, inflammation and irritable bowel disease, which method comprises administering to said mammal a pharmaceutical composition according to Claim 19.